LISTING OF CLAIMS

1-58 (canceled)

59. (new) A compound of Formula (I):

$$R_{6}$$
 R_{2}
 R_{3}
 R_{3}
 R_{4}

Formula (I)

wherein

Y is selected from the group consisting of a bond, -C(O)-, -C(O)O-, -C(O)NH- and -SO₂-;

 R_1 is R_7 or R_8 ;

 R_2 , R_3 , R_4 and R_5 are independently hydrogen or C_{1-8} alkyl; wherein C_{1-8} alkyl is optionally substituted with one to three substituents independently selected from R_9 ;

- R₇, R₉ R₁₀ and R₁₄ are independently selected from the group consisting of cycloalkyl, heterocyclyl, aryl, and heteroaryl optionally substituted with one to five substituents independently selected from the group consisting of halogen, C₁₋₈alkyl, C₂₋₈alkenyl, C₂₋₈alkynyl, C₁₋₈alkoxy, C₁₋₈alkylcarbonyl, C₁₋₈alkoxycarbonyl, carboxyl, aryl, heteroaryl, arylcarbonyl, heteroarylcarbonyl, arylsulfonyl, amino, N-(C₁₋₈alkyl)amino, N,N-(C₁₋₈dialkyl)amino, -CF₃ and -OCF₃; wherein cycloalkyl and heterocyclyl are optionally substituted with one to three oxo substituents; and, wherein the aryl and heteroaryl substituents and the aryl portion of the arylcarbonyl substituent are optionally substituted with one to five substituents independently selected from the group consisting of halogen, C₁₋₈alkyl, C₂₋₈alkenyl, C₂₋₈alkynyl, C₁₋₈alkoxy, carboxyl, amino, N-(C₁₋₈alkyl)amino, N,N-(C₁₋₈dialkyl)amino, -CF₃ and -OCF₃;
- R_8 , R_{12} , R_{13} and R_{17} are independently selected from the group consisting of C_{1-8} alkyl, C_{2-8} alkenyl, C_{2-8} alkynyl, and $(halo)_{1-3}(C_{1-8})$ alkyl; wherein C_{1-8} alkyl, C_{2-8} alkenyl and C_{2-8} alkynyl are optionally substituted on a terminal carbon with one to three substituents independently selected from R_{14} ;
- R₁₁ is hydrogen or C₁₋₈alkyl;
- A is C_{1-4} alkylene optionally substituted with one to two substituents independently selected from R_{13} ;
- B₁ and B₂ are independently selected from the group consisting of C₁₋₂alkylene and C₂alkenylene optionally substituted with one to two substituents independently selected from the group consisting of halogen, hydroxy, hydroxy(C₁₋₈)alkyl, hydroxy(C₁₋₈)alkoxy, C₁₋₈alkyl, C₂₋₈alkenyl, C₂₋₈alkynyl, C₁₋₈alkoxy, carboxyl, amino, N-(C₁₋₈alkyl)amino, N,N-(C₁₋₈dialkyl)amino, -CF₃ and -OCF₃;

09/891,602 - 5 - ORT 1451

and pharmaceutically acceptable salts, racemic mixtures, diastereomers and enantiomers thereof.

- 60. (new) The compound of claim 59 wherein Y is -C(0) or $-SO_2$ -.
- 61. (new) The compound of claim 59 wherein Y is -SO₂-.
- 62. (new) The compound of claim 59 wherein R_1 is R_7 .
- 63. (new) The compound of claim 59 wherein R_2 , R_3 , R_4 and R_5 are independently hydrogen or C_{1-4} alkyl.
- 64. (new) The compound of claim 59 wherein R_2 , R_3 , R_4 and R_5 are independently hydrogen or methyl.
- 65. (new) The compound of claim 59 wherein R_6 is optionally present and is one to three substituents independently selected from the group consisting of halogen, C_{1-8} alkoxy, R_{10} , R_{12} , $-N(R_{11})C(0)-R_{10}$, $-N(R_{11})C(0)-R_{12}$, $-N(R_{11})SO_2-R_{10}$, $-N(R_{11})C(0)-N(R_{11},R_{12})$, $-N(R_{11})C(0)-N(R_{12},R_{17})$, $-OC(0)-N(R_{11},R_{12})$, $-OC(0)-N(R_{12},R_{17})$, $-OC(0)-R_{10}$ and $R_{10}-(C_{1-8})$ alkoxy.
- 66. (new) The compound of claim 59 wherein R_6 is optionally present and is one to three substituents independently selected from the group consisting of halogen, C_{1-4} alkoxy, R_{10} , R_{12} , $-N(R_{11})C(0)-R_{10}$, $-N(R_{11})C(0)-R_{12}$, $-N(R_{11})SO_2-R_{10}-$, $-N(R_{11})C(0)-N(R_{11},R_{12})$, $-N(R_{11})C(0)-N(R_{12},R_{17})$, $-OC(0)-N(R_{11},R_{12})$, $-OC(0)-N(R_{12},R_{17})$, $-OC(0)-R_{10}$ and $R_{10}-(C_{1-4})$ alkoxy.
- 67. (new) The compound of claim 59 wherein R_6 is optionally present and is one to two substituents independently selected from the group consisting of R_{10} , $-N(R_{11})C(0)-R_{10}$, $-N(R_{11})C(0)-N(R_{11},R_{12})$, $-N(R_{11})C(0)-N(R_{12},R_{17})$, $-OC(0)-N(R_{11},R_{12})$, $-OC(0)-N(R_{12},R_{17})$ and R_{10} -methoxy.

09/891,602 - 6 - ORT 1451

- 68. (new) The compound of claim 59 wherein R_7 is selected from the group consisting of aryl and heteroaryl optionally substituted with one to five substituents independently selected from the group consisting of halogen, C_{1-8} alkyl, C_{2-8} alkenyl, C_{2-8} alkynyl, C_{1-8} alkoxy, C_{1-8} alkylcarbonyl, C_{1-8} alkoxycarbonyl, carboxyl, aryl, heteroaryl, arylcarbonyl, heteroarylcarbonyl, arylsulfonyl, amino, $N-(C_{1-8}$ alkyl)amino, $N,N-(C_{1-8}$ dialkyl)amino, $N,N-(C_{1-8}$ dialkyl)amino, N0 and N1 arylcarbonyl substituents and the aryl portion of the arylcarbonyl substituent are optionally substituted with one to five substituents independently selected from the group consisting of halogen, C_{1-8} alkyl, C_{2-8} alkenyl, C_{2-8} alkynyl, C_{1-8} alkoxy, carboxyl, amino, $N-(C_{1-8}$ alkyl)amino, $N,N-(C_{1-8}$ dialkyl)amino, N1 amino, N2 amino, N3 and N3 and N4 amino, N5 amino, N6 amino, N8 amino, N9 amino, N9
- 69. (new) The compound of claim 59 wherein R_{10} is selected from the group consisting of cycloalkyl, heterocyclyl, aryl and heteroaryl optionally substituted with one to five substituents independently selected from the group consisting of halogen, C_{1-8} alkyl, C_{1-8} alkoxy, C_{1-8} alkoxycarbonyl, carboxyl, arylcarbonyl, arylsulfonyl, -CF₃ and -OCF₃; wherein cycloalkyl and heterocyclyl are optionally substituted with one to three oxo substituents; and wherein the aryl portion of the arylcarbonyl substituent is optionally substituted with one to five substituents independently selected from C_{1-8} alkoxy.
- 70. (new) The compound of claim 59 wherein R₁₀ is selected from the group consisting of cyclopropyl, 1,3-dihydro-2*H*-isoindolyl, 2-azabicyclo[2.2.2]octyl, piperidinyl, morpholinyl, phenyl, naphthalenyl, thienyl, 1*H*-pyrrolyl and pyridinyl; wherein cyclopropyl, piperidinyl, morpholinyl, phenyl, naphthalenyl, thienyl, 1*H*-pyrrolyl and pyridinyl are optionally substituted with one to four substituents independently selected from the group consisting of chlorine, fluorine, bromine, methyl, isopropyl, t-butyl, methoxy, t-butoxycarbonyl, carboxyl,

09/891,602 - 7 - ORT 1451

phenylcarbonyl, -CF₃ and -OCF₃; wherein 1,3-dihydro-2*H*-isoindolyl is optionally substituted with oxo; wherein 2-azabicyclo-[2.2.2]octyl is optionally substituted with phenylsulfonyl, and, wherein the phenyl portion of the phenylcarbonyl substituent is optionally substituted with one to two substituents independently selected from methoxy.

- 71. (new) The compound of claim 59 wherein R_{12} is selected from the group consisting of C_{1-8} alkyl and C_{2-8} alkynyl optionally substituted on a terminal carbon with R_{14} .
- 72. (new) The compound of claim 59 wherein R_{12} is selected from the group consisting of C_{1-4} alkyl and C_{2-4} alkynyl optionally substituted on a terminal carbon with R_{14} .
- 73. (new) The compound of claim 59 wherein R_{12} is t-butyl or ethynyl; wherein ethynyl is optionally substituted on a terminal carbon with a substituent independently selected from R_{14} .
- 74. (new) The compound of claim 59 wherein R_{14} is selected from the group consisting of aryl optionally substituted with one to five substituents independently selected from the group consisting of halogen, C_{1-8} alkyl, C_{2-8} alkenyl, C_{2-8} alkynyl, C_{1-8} alkoxy, C_{1-8} alkylcarbonyl, C_{1-8} alkoxycarbonyl, carboxyl, aryl, heteroaryl, arylcarbonyl, heteroarylcarbonyl, arylsulfonyl, amino, $N-(C_{1-8}$ alkyl)amino, $N,N-(C_{1-8}$ dialkyl)amino, -CF3 and -OCF3; and, wherein the aryl and heteroaryl substituents and the aryl portion of the arylcarbonyl substituent are optionally substituted with one to five substituents independently selected from the group consisting of halogen, C_{1-8} alkyl, C_{2-8} alkenyl, C_{2-8} alkynyl, C_{1-8} alkoxy, carboxyl, amino, $N-(C_{1-8}$ alkyl)amino, $N,N-(C_{1-8}$ dialkyl)amino, -CF3 and -OCF3.
- 75. (new) The compound of claim 59 wherein R_{11} is hydrogen or C_{1-4} alkyl.

09/891,602 - 8 - ORT 1451

76. (new) The compound of claim 59 wherein R₁₁ is hydrogen.

77. (new) The compound of claim 59 wherein A is methylene or ethylene.

78. (new) The compound of claim 59 wherein B_1 and B_2 are independently selected from the group consisting of $-CH_2-$, $-(CH_2)_2-$ and $-(CH)_2-$ optionally substituted with one to two substituents independently selected from the group consisting of halogen, hydroxy, hydroxy(C_{1-4}) alkyl, hydroxy(C_{1-4}) alkoxy, C_{1-4} alkyl, C_{2-4} alkenyl, C_{2-4} alkynyl, C_{1-4} alkoxy, carboxyl, amino, $N-(C_{1-4}$ alkyl) amino, $N,N-(C_{1-4}$ dialkyl) amino, $-CF_3$ and $-OCF_3$.

79. (new) The compound of claim 59 wherein B_1 is selected from the group consisting of $-CH_2-$, $-(CH_2)_2-$ and $-(CH)_2-$ optionally substituted with one to two substituents independently selected from the group consisting of halogen, hydroxy, hydroxy(C_{1-4}) alkyl, hydroxy(C_{1-4}) alkoxy, C_{1-4} alkyl, C_{2-4} alkenyl, C_{2-4} alkynyl, C_{1-4} alkoxy, carboxyl, amino, $N-(C_{1-4}$ alkyl) amino, $N,N-(C_{1-4}$ dialkyl) amino, $-CF_3$ and $-OCF_3$; and wherein, B_2 is selected from $-(CH_2)_2-$.

80. (new) The compound of claim 59 wherein B_1 is $-CH_2-$, $-(CH_2)_2-$, or $-(CH)_2-$.

81. (new) The compound of claim 59 wherein the compound of Formula (I) is selected from a compound of the formula:

09/891,602 - 9 - ORT 1451

wherein B_1 , R_1 , R_3 , R_5 , A and R_6 are dependently selected from the group consisting of:

B ₁	R ₁	R_3	R_5	A	R_6
(CH ₂) ₂	4-Tol	Н	Н	CH ₂	4-NHC(O)-(2,6-Cl ₂)Ph;
$(CH_2)_2$	4-Tol	Н	Н	CH ₂	4-NHC(O)-(2,4,6-Cl ₃)Ph;
$(CH_2)_2$	4-Tol	Н	Н	CH_2	4-NHC(O)-[2,6-(OMe) ₂]Ph;
CH_2	Ph	Н	Н	CH ₂	4-NHC(O)-(2,6-F ₂)Ph;
(CH ₂) ₂	Ph	Н	Н	CH ₂	4-NHC(O)-(2,6-Cl ₂)Ph;
(CH ₂) ₂	Ph	Н	Н	CH_2	4-[2,6-(OMe) ₂]Ph;
$(CH_2)_2$	4-Tol	Н	Н	CH_2	4-NHC(O)-(2-Me)Ph;
(CH ₂) ₂	4-Tol	Н	Н	CH_2	4-NHC(O)-(2-Cl)Ph;
(CH ₂) ₂	4-Tol	Н	Н	CH ₂	4-NHC(O)-(2,6-F ₂)Ph;
$(CH_2)_2$	4-Tol	Н	Н	CH ₂	4-NHC(O)-(2-CF ₃)Ph;
$(CH_2)_2$	4-Tol	Н	Н	CH ₂	4-NHC(O)-(2-OCF ₃)Ph;
$(CH_2)_2$	4-Tol	Н	Н	CH ₂	4-NHC(O)-(2-Br)Ph;
(CH ₂) ₂	Ph	Н	Н	CH ₂	4-NHC(O)-(2,6-F ₂)Ph;
CH_2	Ph	Н	Н	CH_2	4-NHC(O)-(2,6-Cl ₂)Ph;
$(CH_2)_2$	4-Tol	Н	Н	CH_2	4-[2,6-(OMe) ₂]Ph;
CH_2	Ph	Н	Н	CH_2	$4-NHC(O)-[2,6-(OMe)_2]Ph;$
$(CH_2)_2$	4-Tol	Н	Н	CH ₂	4-CC-(4-t-butyl)Ph;
$(CH_2)_2$	4-Tol	Н	Н	CH_2	4-CC-Ph;
$(CH_2)_2$	4-Tol	Н	Н	CH_2	4-NHC(O)-Ph;
(CH ₂) ₂	4-Tol	Н	Н	CH ₂	4-NHC(O)-[4-C(O)-[2,5- (OMe) ₂]Ph]Ph;
$(CH_2)_2$	4-Tol	Н	Н	CH ₂	$4-NHC(O)-CH_2-(2,6-Cl_2)Ph;$
$(CH_2)_2$	Ph	Н	Н	CH_2	4-NHC(O)-NH-(2,6-Cl ₂)Ph;
$(CH_2)_2$	Ph	Н	Н	CH_2	4-OCH ₂ -(2,6-Cl ₂)Ph;
$(CH_2)_2$	4-Tol	Н	Н	CH ₂	4-OCH ₂ -Ph;
(CH ₂) ₂	4-Tol	Н	Н	CH ₂	$4-NHC(O)-(2,4,6-isopropyl_3)Ph;$

(CH₂)₂4-Tol Η Η CH₂ 4-(1H-pyrrol-1-yl);(CH₂)₂4-Tol Η Η CH_2 4-Ph; $4-NHC(0)-NH-(2,6-F_2)Ph;$ (CH₂)₂Ph Η Η CH_2 (CH₂)₂4-Tol Η Η CH_2 $3-NHC(0)-(2,6-F_2)Ph;$ $3-NHC(0)-[2,6-(OMe)_2]Ph;$ (CH₂)₂4-Tol Η Η CH_2 3-NHC(0)-(2,6-Cl₂)Ph;(CH₂)₂4-Tol CH_2 Η Η (CH₂)₂ $4-OCH_2-(2,6-Cl_2)$ Ph; Ph Η CH_3 CH_2 (CH₂)₂ $4-NHC(0)-(2,6-Cl_2)Ph;$ Ph CH_3 Η CH₂ $4-OCH_2-(2,6-Cl_2)Ph;$ $(CH)_2$ Ph Η Η CH_2 CH_2 $4-OCH_2-(2,6-Cl_2)$ Ph; (CH₂)₂Ph Η Η $(CH)_2$ Ph Η Η CH_2 $4-NHC(0)-(2,6-Cl_2)Ph;$ (CH₂)₂Ph Η Η CH₂ $4-(2,4,6-F_3)$ Ph; Ph $4-(2,3,5,6-F_4)$ Ph; (CH₂)₂Η Η CH_2 Η 4-0-t-butoxy;(CH₂)₂Ph Η CH_2 (CH₂)₂Ph Η Η (CH₂)₂---; 4-(1,3-dihydro-1,3-dioxo-2H-(CH₂)₂Ph Η Η CH_2 isoindol-2-yl); (CH₂)₂Ph Η Η CH_2 $4-NHC(0)-(2-CO_2H)Ph;$ Ph Η 4-(2,5-diMe-1H-pyrrol-1-yl);(CH₂)₂Η CH_2 (CH₂)₂Ph Η Η CH_2 4-NHC(0)-4-pyridinyl; (CH₂)₂Ph Η Η CH_2 $4-NHSO_2-(2,6-Cl_2)Ph;$ (CH₂)₂Ph Η Η CH_2 $4 - OC(O) - N(CH_3)_2;$ (CH₂)₂Ph Η Η CH₂4-NHC(0)-(1-t-butoxycarbonyl) 4-piperidinyl; (CH₂)₂4-FPh Η 4-NHC(0)-(2,6-Cl₂)Ph;Η CH_2 (CH₂)₂4-FPh Н Η CH_2 $4-NHC(0)-[2,6-(OMe)_2]Ph;$ 4-OC(0)-4-morpholinyl;(CH₂)₂Ph Η Η CH_2 (CH₂)₂Ph Η Η CH_2 4-OC(O)N(iso-propyl)₂; (CH₂)₂Ph Η Η CH_2 4-t-butyl; 4-NHC(0)-4-piperidinyl; (CH₂)₂Ph Η Η CH_2

09/891,602 - 11 - ORT 1451

(CH ₂) ₂	Ph	Н	Н	CH ₂	$4-NHC(O)-(3,5-Cl_2)4-$ pyridinyl;
$(CH_2)_2$	Ph	Н	Н	CH ₂	4-NHC(O)-NMe ₂ ;
$(CH_2)_2$	Ph	Н	Н	CH ₂	$3-F-4-[OCH_2(2,6-Cl_2)Ph]$;
$(CH_2)_2$	2-Thi	Н	Н	CH ₂	$4-OC(O)-NMe_2;$
$(CH_2)_2$	Ph	Н	Н	CH ₂	4-NHC(O)-t-butyl;
(CH ₂) ₂	Ph	Н	Н	CH ₂	4-NHC(O)-(2-OMe)1- naphthalenyl;
$(CH_2)_2$	2-Thi	Н	Н	CH ₂	4-NHC(O)-(2,6-Cl ₂)Ph;
$(CH_2)_2$	Ph	Н	Н	CH ₂	4-NHC(O)-cyclopropyl;
(CH ₂) ₂	Ph	Н	Н	CH ₂	4-NHC(O)-(2,2,3,3- Me ₄)cyclopropyl;
$(CH_2)_2$	Ph	Н	Н	CH_2	4-NHC(O)-iso-propyl;
(CH ₂) ₂	Ph	Н	Н	CH ₂	4-NHC(O)-(2-SO ₂ Ph)-2- azabicyclo[2.2.2]oct-3-yl;
(CH ₂) ₂	2-Thi	Н	Н	CH ₂	4-NHC(O)-(3,5-Cl2)4-pyridinyl;
$(CH_2)_2$	Ph	Н	Н	CH ₂	4-NHC(O)-(2-Me)cyclopropyl;
$(CH_2)_2$	Ph	Н	Н	CH ₂	4-(2,6-diMe)Ph;
$(CH_2)_2$	Ph	Н	Н	CH ₂	4-(2,6-Cl ₂)Ph;
$(CH_2)_2$	2-Thi	Н	Н	CH ₂	4-(2,6-Cl ₂)Ph;
$(CH_2)_2$	2-Thi	Н	Н	CH ₂	4-(2,6-diMe)Ph;
$(CH_2)_2$	2-Thi	Н	Н	CH ₂	4-[2,6-(OMe) ₂]Ph;
(CH ₂) ₂	2-Thi	Н	Н	CH ₂	<pre>4-(4-fluoro-1,3-dihydro-1,3- dioxo-2H-isoindol-2-yl);</pre>
$(CH_2)_2$	2-Thi	Н	Н	CH_2	$4-NHC(O)-NMe_2;$
$(CH_2)_2$	2-Thi	Н	Н	CH ₂	$4-OC(O)-NMe_2;$
$(CH_2)_2$	2-Thi	Н	H	CH ₂	4-OC(O)-(4-morpholinyl);
$(CH_2)_2$	2-Thi	Н	Н	CH ₂	4-OC(O)-(4-Me-1-
					<pre>piperazinyl);</pre>
(CH ₂) ₂	Ph	Н	Н	CH ₂	4-OC(O)-(4-Me-1- piperazinyl);
$(CH_2)_2$	Ph	Н	Н	CH ₂	$4-N(Me)C(O)-(2,6-Cl_2)Ph;$
(CH ₂) ₂	Ph	Н	Н	CH ₂	4-N(Me)C(O)-(3,5-Cl ₂)4- pyridinyl;

09/891,602

(CH ₂) ₂	2-Thi	Н	Н	CH ₂	4-N(Me)C(O)-(3,5-Cl ₂)4- pyridinyl;
$(CH_2)_2$	2-Thi	Н	Н	CH ₂	4-N(Me)C(O)-(2,6-Cl ₂)Ph;
$(CH_2)_2$	2-Thi	Н	Н	CH ₂	4-OCH ₂ -(2,6-Cl ₂)Ph;
(CH ₂) ₂	2-Thi	Н	Н	CH ₂	4-(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl);
(CH ₂) ₂	Ph	Н	Н	CH ₂	4-(1,3-dihydro-4,7-dimethyl- 1,3-dioxo-2 <i>H</i> -isoindol-2-yl);
(CH ₂) ₂	2-Thi	Н	Н	CH ₂	<pre>4-(1,3-dihydro-4,7-dimethyl- 1,3-dioxo-2H-isoindol-2-yl);</pre>
CH ₂	2-Thi	Н	Н	CH ₂	4-NHC(O)-(3,5-Cl2)4-pyridinyl;
CH ₂	2-Thi	Н	Н	CH ₂	$4-NHC(O)-(2,6-Cl_2)Ph;$
(CH ₂) ₂	Ph	Н	Н	CH ₂	4-(1,1-dioxido-3-oxo-1,2- benzisothiazol-2(3H)-yl);
(CH ₂) ₂	Ph	Н	Н	CH ₂	4-(4-chloro-1,3-dihydro-1,3-dioxo-2 <i>H</i> -isoindol-2-yl); and
(CH ₂) ₂	Ph	Н	Н	CH₂	4-(7,9-dioxo-8- azaspiro[4.5]dec-8-yl);

and pharmaceutically acceptable salts, racemic mixtures, diastereomers and enantiomers thereof.

82. (new) The compound of claim 59 wherein the compound of Formula (I) is:

83. (new) The compound of claim 59 wherein the compound of Formula (I) is:

09/891,602 - 13 - ORT 1451

84. (new) The compound of claim 59 wherein the compound of Formula (I) is:

85. (new) The compound of claim 59 wherein the compound of Formula (I) is:

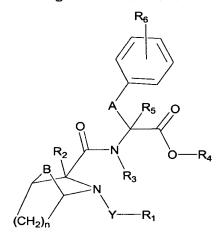
86. (new) The compound of claim 59 wherein the compounds are effective antagonists of an integrin receptor.

87. (new) The compound of claim 86 wherein the compound is a selective antagonist of an $\alpha 4$ integrin receptor.

88. (new) The compound of claim 87 wherein the $\alpha4$ integrin receptor is selected from the group consisting of the $\alpha4\beta1$ and $\alpha4\beta7$ integrin receptor.

09/891,602 - 14 - ORT 1451

- 89. (new) The compound of claim 86 wherein the compound is an antagonist of at least two $\alpha 4$ integrin receptors.
- 90. (new) The compound of claim 89 wherein the two $\alpha4$ integrin receptors are selected from the group consisting of the $\alpha4\beta1$ and $\alpha4\beta7$ integrin receptor.
- 91. (new) The compound of claim 59 wherein R_7 is selected from the group consisting tolyl, phenyl and thienyl.
- 92. (new) A compound having Formula (II):



Formula (II)

wherein

Y is selected from the group consisting of -C(0) - and $-SO_2$ -;

 R_1 is selected from the group consisting of R_7 and R_8 ; R_2 , R_3 , R_4 and R_5 are independently hydrogen or C_{1-8} alkyl; wherein C_{1-8} alkyl is optionally substituted with one to three substituents independently selected from R_9 ;

 $\begin{array}{l} R_6 \text{ is optionally present and is one to three substituents} \\ \text{independently selected from the group consisting of halogen,} \\ C_{1-8} \text{alkoxy}, \ R_{10}, \ R_{12}, \ -N\left(R_{11}\right)C\left(O\right) - R_{10}, \ -N\left(R_{11}\right)C\left(O\right) - R_{12}, \\ -N\left(R_{11}\right)SO_2 - R_{10}, \ -N\left(R_{11}\right)SO_2 - R_{12}, \ -N\left(R_{11}\right)C\left(O\right) - N\left(R_{11},R_{10}\right), \\ -N\left(R_{11}\right)C\left(O\right) - N\left(R_{11},R_{12}\right), \ -N\left(R_{11}\right)C\left(O\right) - N\left(R_{12},R_{17}\right), \ -C\left(O\right) - N\left(R_{11},R_{10}\right), \\ -C\left(O\right) - N\left(R_{11},R_{12}\right), \ -C\left(O\right) - N\left(R_{12},R_{17}\right), \ -OC\left(O\right) - N\left(R_{11},R_{10}\right), \end{array}$

09/891,602 - 15 - ORT 1451

- $-OC(O) N(R_{11}, R_{12})$, $-OC(O) N(R_{12}, R_{17})$, $-OC(O) R_{10}$, $-OC(O) R_{12}$, $-O-R_{10}$ and $R_{10}-(C_{1-8})$ alkoxy;
- R_7 R_9 , R_{10} and R_{14} are independently selected from the group consisting of cycloalkyl, heterocyclyl, aryl and heteroaryl optionally substituted with one to five substituents independently selected from the group consisting of halogen, C_{1-8} alkyl, C_{2-8} alkenyl, C_{2-8} alkynyl, C_{1-8} alkoxy, C_{1-8} alkylcarbonyl, C₁₋₈alkoxycarbonyl, carboxyl, aryl, heteroaryl, arylcarbonyl, heteroarylcarbonyl, arylsulfonyl, amino, $N-(C_{1-8}alkyl)$ amino, $N, N-(C_{1-8}dialkyl)$ amino, $-CF_3$ and $-OCF_3$; wherein cycloalkyl and heterocyclyl are optionally substituted with one to three oxo substituents; and, wherein the aryl and heteroaryl substituents and the aryl portion of the arylcarbonyl substituent are optionally substituted with one to five substituents independently selected from the group consisting of halogen, C_{1-8} alkyl, C_{2-8} alkenyl, C_{2-8} alkynyl, C_{1-8} alkoxy, carboxyl, amino, $N-(C_{1-8}alkyl)$ amino, $N, N-(C_{1-8}dialkyl)$ amino, $-CF_3$ and -OCF₃;
- R_8 , R_{12} , R_{13} and R_{17} are independently selected from the group consisting of C_{1-8} alkyl, C_{2-8} alkenyl, C_{2-8} alkynyl, and $(halo)_{1-3}(C_{1-8})$ alkyl; wherein C_{1-8} alkyl, C_{2-8} alkenyl and C_{2-8} alkynyl are optionally substituted on a terminal carbon with one to three substituents independently selected from R_{14} ;

 R_{11} is hydrogen or C_{1-8} alkyl;

- A is C_{1-4} alkylene optionally substituted with one to two substituents independently selected from R_{13} ;
- B is selected from the group consisting of C_{1-2} alkylene and C_2 alkenylene optionally substituted with one to two substituents independently selected from the group consisting of halogen, hydroxy, hydroxy(C_{1-8})alkyl, hydroxy(C_{1-8})alkoxy,

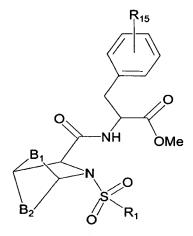
09/891,602 - 16 - ORT 1451

 C_{1-8} alkyl, C_{2-8} alkenyl, C_{2-8} alkynyl, C_{1-8} alkoxy, carboxyl, amino, $N-(C_{1-8}$ alkyl)amino, $N,N-(C_{1-8}$ dialkyl)amino, $-CF_3$ and $-OCF_3$; and

n is an integer from 1 to 2;

and pharmaceutically acceptable salts, racemic mixtures, diastereomers and enantiomers thereof.

93. (new) A process for preparing a compound of Formula (III):



Formula (III)

wherein

 R_1 is selected from the group consisting of R_7 and R_8 ;

R₇, R₁₀, and R₁₄ are independently selected from the group consisting of cycloalkyl, heterocyclyl, aryl and heteroaryl optionally substituted with one to five substituents independently selected from the group consisting of halogen, C₁₋₈alkyl, C₂₋₈alkenyl, C₂₋₈alkynyl, C₁₋₈alkoxy, C₁₋₈alkylcarbonyl, C₁₋₈alkoxycarbonyl, carboxyl, aryl, heteroaryl, arylcarbonyl, heteroarylcarbonyl, arylsulfonyl, amino, N-(C₁₋₈alkyl)amino, N,N-(C₁₋₈dialkyl)amino, -CF₃ and -OCF₃; wherein cycloalkyl and heterocyclyl are optionally substituted with one to three oxo substituents; and, wherein the aryl and heteroaryl substituents and the aryl portion of the arylcarbonyl substituents independently selected from the group consisting

09/891,602 - 17 - ORT 1451

of halogen, C_{1-8} alkyl, C_{2-8} alkenyl, C_{2-8} alkynyl, C_{1-8} alkoxy, carboxyl, amino, $N-(C_{1-8}$ alkyl)amino, $N,N-(C_{1-8}$ dialkyl)amino, $-CF_3$ and $-OCF_3$;

 R_8 , R_{12} and R_{17} are independently selected from the group consisting of C_{1-8} alkyl, C_{2-8} alkenyl, C_{2-8} alkynyl, and $(halo)_{1-3}(C_{1-8})$ alkyl; wherein C_{1-8} alkyl, C_{2-8} alkenyl and C_{2-8} alkynyl are optionally substituted on a terminal carbon with one to three substituents independently selected from R_{14} ;

 R_{15} is selected from the group consisting of hydroxy, amino, NO_2 and R_6 ;

 R_6 is optionally present and is one to three substituents independently selected from the group consisting of halogen, $C_{1\text{-8}} alkoxy, \ R_{10}, \ R_{12}, \ -N(R_{11}) C(O) - R_{10}, \ -N(R_{11}) C(O) - R_{12}, \ -N(R_{11}) SO_2 - R_{10}, \\ -N(R_{11}) SO_2 - R_{12}, \ -N(R_{11}) C(O) - N(R_{11}, R_{10}), \ -N(R_{11}) C(O) - N(R_{11}, R_{12}), \\ -N(R_{11}) C(O) - N(R_{12}, R_{17}), \ -C(O) - N(R_{11}, R_{10}), \ -C(O) - N(R_{12}, R_{17}), \\ -C(O) - N(R_{11}, R_{12}), \ -OC(O) - N(R_{11}, R_{10}), \ -OC(O) - N(R_{11}, R_{12}), \\ -OC(O) - N(R_{12}, R_{17}), \ -OC(O) - R_{10}, \ -OC(O) - R_{12}, \ -O-R_{10} \ and \\ R_{10} - (C_{1\text{-8}}) \ alkoxy;$

 R_{11} is hydrogen or C_{1-8} alkyl; and

 B_1 and B_2 are independently selected from the group consisting of C_{1-2} alkylene and C_2 alkenylene optionally substituted with one to two substituents independently selected from the group consisting of halogen, hydroxy, hydroxy(C_{1-8}) alkyl, hydroxy(C_{1-8}) alkoxy, C_{1-8} alkyl, C_{2-8} alkenyl, C_{2-8} alkynyl, C_{1-8} alkoxy, carboxyl, amino, $N-(C_{1-8}$ alkyl)amino, $N-(C_{1-8}$ alkyl)amino,

and pharmaceutically acceptable salts, racemic mixtures, diastereomers and enantiomers thereof;

09/891,602



comprising reacting a compound of Formula (IV)

Formula (IV)

wherein

R₁₆ is selected from the group consisting of halogen, mixed anhydride and hydroxy;

with a compound of Formula (V)

in the presence of appropriate coupling agents, bases and solvents to form the compound of Formula (II).

94. (new) The process of claim 93 wherein R_{15} is selected from the group consisting of hydroxy, iodine, bromine, and NO_2 .

95. (new) A pharmaceutical composition comprising a compound of claim 59 and a pharmaceutically acceptable carrier.

96. (new) A pharmaceutical composition made by mixing a compound of claim 59 and a pharmaceutically acceptable carrier.

97. (new) A method for the treatment of an integrin mediated disorder ameliorated by inhibition of an $\alpha 4$ integrin receptor comprising administering to a subject in need thereof a therapeutically effective amount of a compound of claim 59.

09/891,602 - 19 - ORT 1451

- 98. (new) The method of claim 97 wherein the $\alpha4$ integrin receptor is selected from the group consisting of the $\alpha4\beta1$ and $\alpha4\beta7$ integrin receptor.
- 99. (new) The method of claim 97 wherein the compound inhibiting the $\alpha 4$ integrin receptor is selected from the group consisting of a selective antagonist of the $\alpha 4\beta 1$ integrin receptor, a selective antagonist of the $\alpha 4\beta 1$ integrin receptor and an antagonist of the $\alpha 4\beta 1$ and $\alpha 4\beta 1$ integrin receptors.
- 100. (new) The method of claim 97 wherein the integrin mediated disorder is selected from the group consisting of inflammatory disorders, autoimmune disorders and cell-proliferative disorders.
- 101. (new) The method of claim 97 wherein the integrin mediated disorder is selected from the group consisting of inflammation disorders, autoimmunity disorders, asthma, bronchoconstriction, restenosis, atherosclerosis, psoriasis, rheumatoid arthritis, inflammatory bowel disease, irritable bowel disease, irritable bowel syndrome, transplant rejection and multiple sclerosis.
- 102. (new) The method of claim 97 wherein the integrin mediated disorder is selected from the group consisting of asthma, bronchoconstriction, restenosis, atherosclerosis, psoriasis, rheumatoid arthritis, inflammatory bowel disease, irritable bowel disease, irritable bowel syndrome, transplant rejection and multiple sclerosis.
- 103. (new) The method of claim 97 wherein the integrin mediated disorder is selected from the group consisting of asthma, bronchoconstriction, restenosis, atherosclerosis, irritable bowel syndrome and multiple sclerosis.

09/891,602 - 20 - ORT 1451

The state of the s

104. (new) The method of claim 97 wherein the therapeutically effective amount of the compound is from about 0.01 mg/kg/day to about 300 mg/kg/day.

105. (new) The method of claim 97 further comprising administering to a subject in need thereof a therapeutically effective amount of the pharmaceutical composition of the compound and a pharmaceutically acceptable excipient.

106. (new) The method of claim 105 wherein the therapeutically effective amount of the pharmaceutical composition of the compound and a pharmaceutically acceptable excipient is from about 0.01 mg/kg/day to about 300 mg/kg/day.

107. (new) The method of claim 97 wherein the integrin mediated disorder is a cell-proliferation disorders.

09/891,602 - 21 - ORT 1451